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09/ 806,836

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* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 SEP 09 CA/Caplus records now contain indexing from 1907 to the
present
NEWS 4 Jul 15 Data from 1960-1976 added to RDISCLOSURE
NEWS 5 Jul 21 Identification of STN records implemented
NEWS 6 Jul 21 Polymer class term count added to REGISTRY
NEWS 7 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
Right Truncation available
NEWS 8 AUG 05 New pricing for EUROPATFULL and PCTFULL effective
August 1, 2003
NEWS 9 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 10 AUG 15 PATDPAFULL: one FREE connect hour, per account, in
September 2003
NEWS 11 AUG 15 PCTGEN: one FREE connect hour, per account, in
September 2003
NEWS 12 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in
September 2003
NEWS 13 AUG 15 TEMA: one FREE connect hour, per account, in
September 2003
NEWS 14 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 15 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 16 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right
Truncation
NEWS 17 AUG 18 Simultaneous left and right truncation added to ANABSTR
NEWS 18 SEP 22 DIPPR file reloaded
NEWS 19 SEP 25 INPADOC: Legal Status data to be reloaded
NEWS 20 SEP 29 DISSABS now available on STN

NEWS EXPRESS OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:13:23 ON 10 OCT 2003

09/ 806,836

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 11:13:43 ON 10 OCT 2003

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3

DICTIONARY FILE UPDATES: 8 OCT 2003 HIGHEST RN 601453-92-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

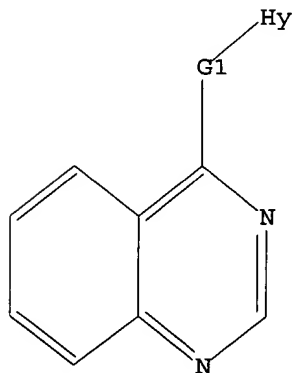
Uploading 09806836.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful

FULL SEARCH INITIATED 11:14:01 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 21250 TO ITERATE

100.0% PROCESSED 21250 ITERATIONS

78 ANSWERS

09/ 806,836

SEARCH TIME: 00.00.01

L2 78 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

148.15

148.36

FILE 'CAPLUS' ENTERED AT 11:14:08 ON 10 OCT 2003

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FILE COVERS 1907 - 10 Oct 2003 VOL 139 ISS 16

FILE LAST UPDATED: 9 Oct 2003 (20031009/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2

L3 17 L2

=> d l3 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:276519 CAPLUS

DOCUMENT NUMBER: 136:310188

TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an NSAID compound

INVENTOR(S): Heimbrosk, David C.; Yao, Siu-long

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 129 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002042375	A1	20020411	US 2001-896245	20010629
PRIORITY APPLN. INFO.:			US 2000-216217P	P 20000705

OTHER SOURCE(S): MARPAT 136:310188

AB The invention relates to methods of treating cancer using a combination of a compd. which is a PSA conjugate and a nonsteroidal antiinflammatory agent (NSAID) and to methods of prepg. such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg

= cyclohexylglycine) and COX-2 inhibitor 3-phenyl-4-[4-(4-methylsulfonyl)phenyl]-2(5H)furanone is an example of an NSAID compd. (syntheses given).

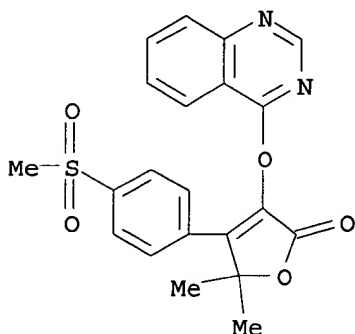
IT 189955-00-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(treatment of cancer with prostate specific antigen (PSA) conjugate and NSAID compd.)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:860680 CAPLUS

DOCUMENT NUMBER: 134:157196

TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline

AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan

CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:157196

AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT_{1A}, 5-HT_{1B}) and analgesic activity (hot plate, acetic acid induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT 232618-27-8P 232618-31-4P 232618-36-9P

325145-97-9P 325145-98-0P 325145-99-1P

325146-00-7P 325146-01-8P 325146-02-9P

325146-03-0P 325146-04-1P 325146-05-2P

325146-06-3P 325146-07-4P 325146-08-5P

325146-09-6P 325146-10-9P 325146-11-0P

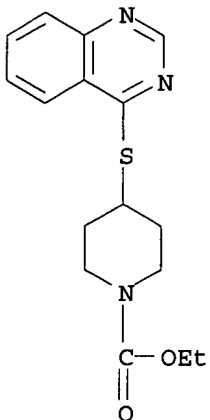
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

09/ 806,836

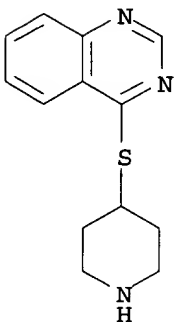
RN 232618-27-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI)
(CA INDEX NAME)



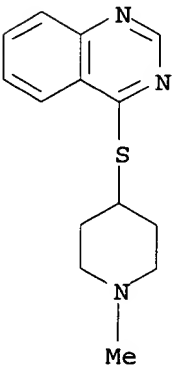
RN 232618-31-4 CAPLUS

CN Quinazoline, 4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



RN 232618-36-9 CAPLUS

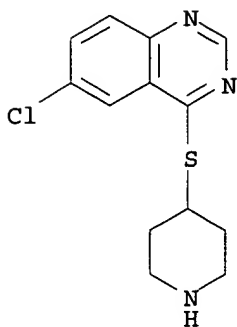
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



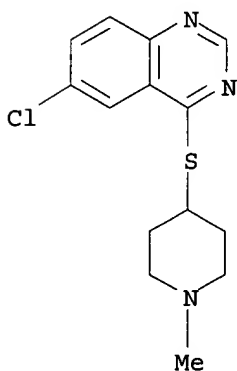
RN 325145-97-9 CAPLUS

CN Quinazoline, 6-chloro-4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)

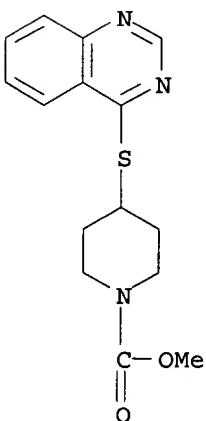
09/ 806,836



RN 325145-98-0 CAPLUS
CN Quinazoline, 6-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)

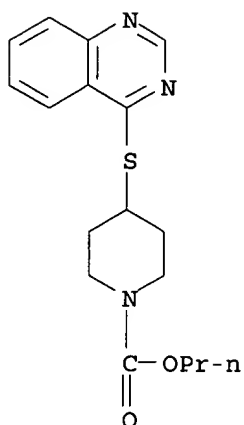


RN 325145-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, methyl ester (9CI)
(CA INDEX NAME)



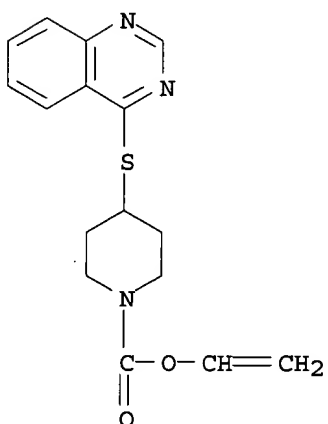
RN 325146-00-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, propyl ester (9CI)
(CA INDEX NAME)

09/ 806,836



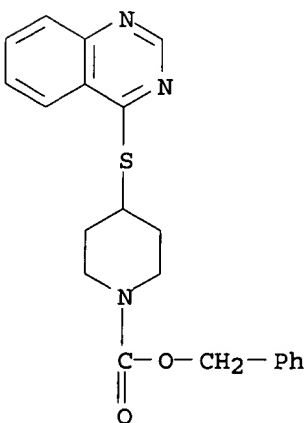
RN 325146-01-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethenyl ester (9CI)
(CA INDEX NAME)



RN 325146-02-9 CAPLUS

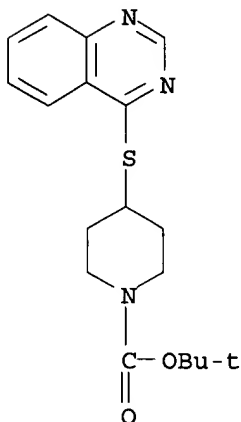
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, phenylmethyl ester
(9CI) (CA INDEX NAME)



RN 325146-03-0 CAPLUS

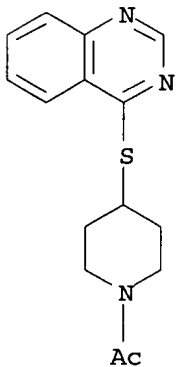
09/ 806,836

CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



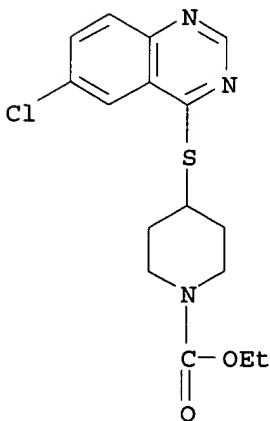
RN 325146-04-1 CAPLUS

CN Piperidine, 1-acetyl-4-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



RN 325146-05-2 CAPLUS

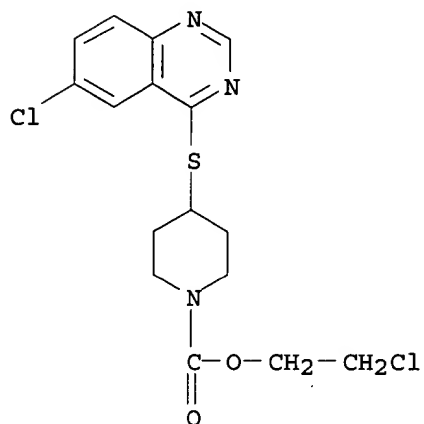
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



RN 325146-06-3 CAPLUS

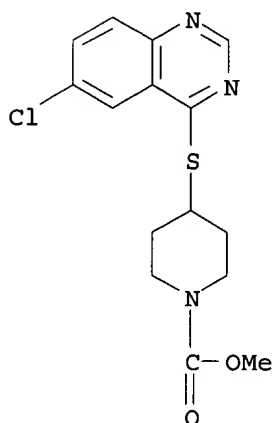
09/ 806,836

CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



RN 325146-07-4 CAPLUS

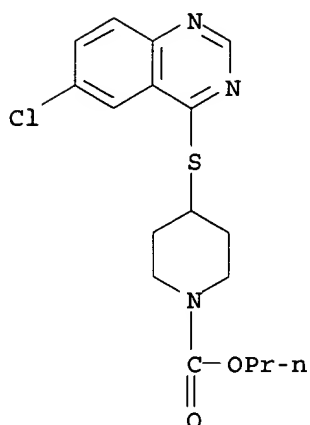
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, methyl ester (9CI) (CA INDEX NAME)



RN 325146-08-5 CAPLUS

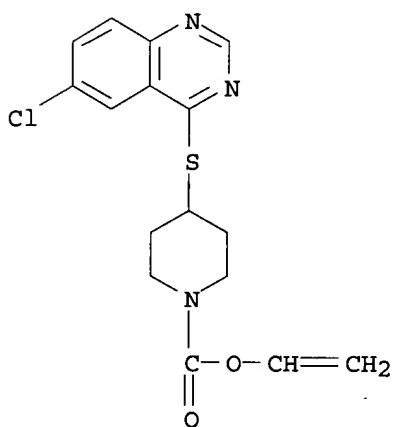
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, propyl ester (9CI) (CA INDEX NAME)

09/ 806,836



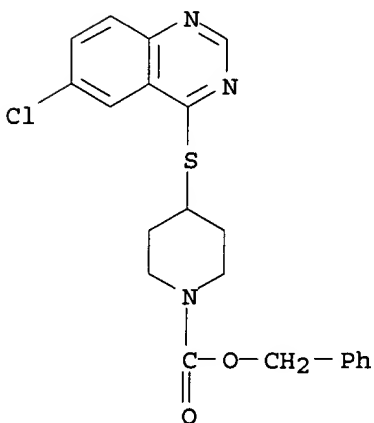
RN 325146-09-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethenyl ester (9CI) (CA INDEX NAME)



RN 325146-10-9 CAPLUS

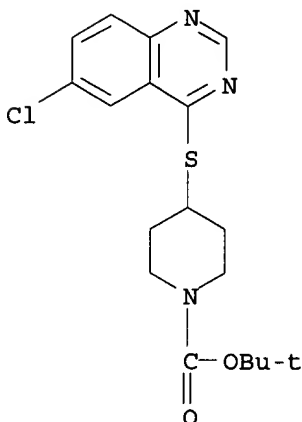
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 325146-11-0 CAPLUS

09/ 806,836

CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



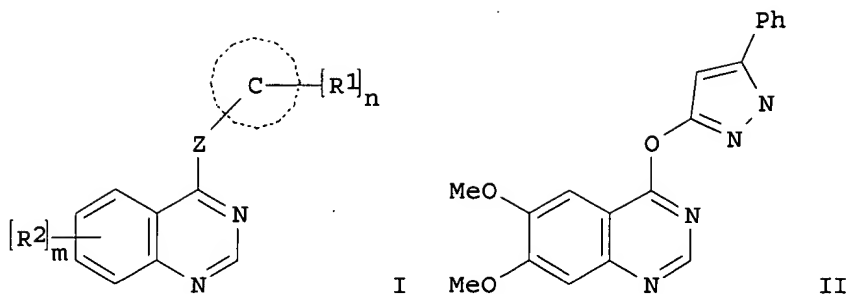
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:260277 CAPLUS
DOCUMENT NUMBER: 132:293771
TITLE: Preparation of quinazolines as VEGF receptor tyrosine
kinase inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Pasquet, Georges
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

*Applicant's
PCT*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021955	A1	20000420	WO 1999-GB3295	19991005
W:			AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
RW:			GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
CA 2344290	AA	20000420	CA 1999-2344290	19991005
AU 9961128	A1	20000501	AU 1999-61128	19991005
AU 756556	B2	20030116		
BR 9914326	A	20010626	BR 1999-14326	19991005
EP 1119567	A1	20010801	EP 1999-947758	19991005
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO	
JP 2002527436	T2	20020827	JP 2000-575861	19991005
ZA 2001002655	A	20020930	ZA 2001-2655	20010330
NO 2001001739	A	20010607	NO 2001-1739	20010406
PRIORITY APPLN. INFO.:			EP 1998-402496 A	19981008
			WO 1999-GB3295 W	19991005
OTHER SOURCE(S):		MARPAT 132:293771		

GI



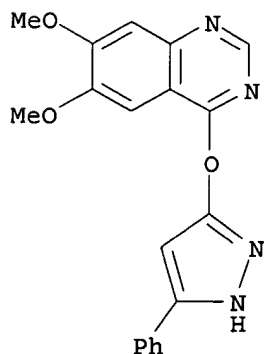
AB The title compds. [I; ring C = 5-6 membered heterocyclic moiety; Z = O, NH, S, CH₂; R₁ = H, alkyl, alkoxyethyl, etc.; n = 0-5; m = 0-3; R₂ = H, OH, halo, etc.] and their salts which inhibit the effects of VEGF, and therefore useful in the prodn. of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepd. and formulated. E.g., a multi-step synthesis of quinazoline II was given. Compds. I are effective at 1-50 mg/kg/day.

IT 264207-46-7P 264207-48-9P 264207-50-3P
 264207-52-5P 264207-54-7P 264207-56-9P
 264207-58-1P 264207-60-5P 264207-62-7P
 264207-64-9P 264207-66-1P 264207-68-3P
 264207-70-7P 264207-72-9P 264207-74-1P
 264207-76-3P 264207-94-5P 264207-96-7P
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 264208-31-3P 264208-33-5P 264208-35-7P
 264208-38-0P 264208-41-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of quinazolines as VEGF receptor tyrosine kinase inhibitors)

RN 264207-46-7 CAPLUS

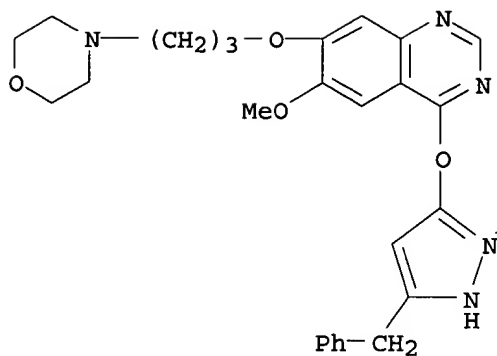
CN Quinazoline, 6,7-dimethoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264207-48-9 CAPLUS

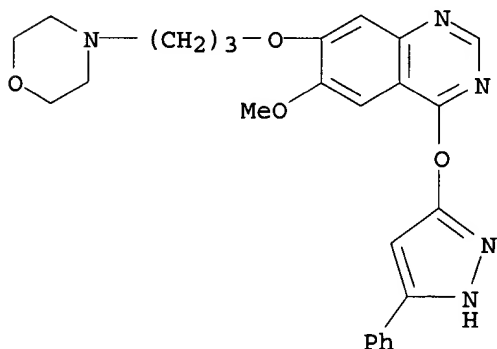
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(phenylmethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



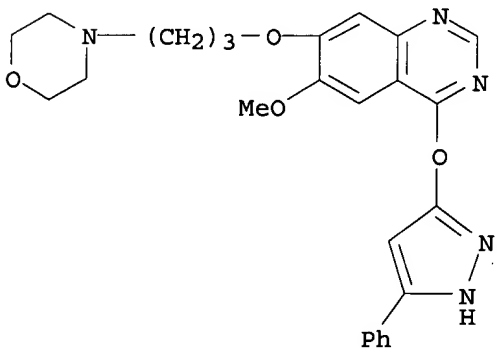
RN 264207-50-3 CAPLUS

CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264207-52-5 CAPLUS

CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, dihydrochloride (9CI) (CA INDEX NAME)



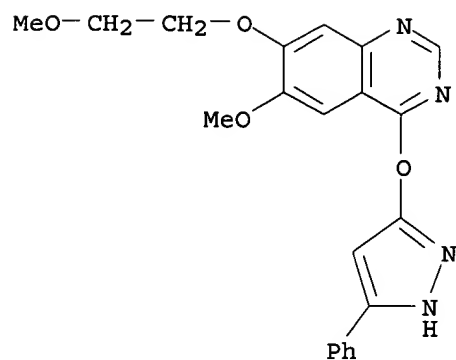
2 HCl

RN 264207-54-7 CAPLUS

CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-

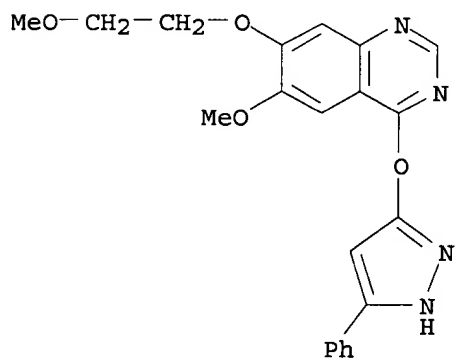
09/ 806,836

yl)oxy] - (9CI) (CA INDEX NAME)



RN 264207-56-9 CAPLUS

CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (4:3) (9CI) (CA INDEX NAME)

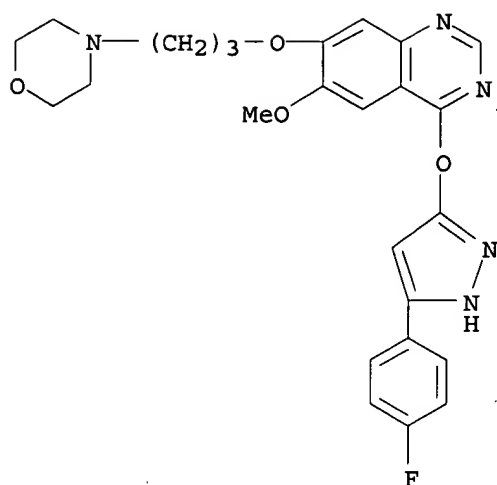


● 3/4 HCl

RN 264207-58-1 CAPLUS

CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)

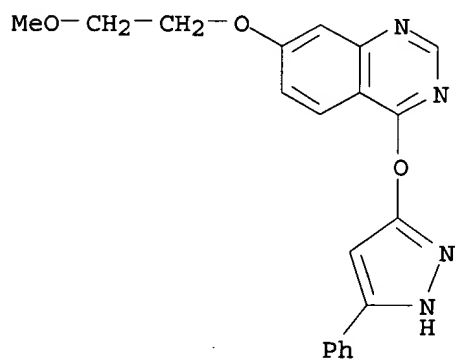
09/ 806,836



●19/10 HCl

RN 264207-60-5 CAPLUS

CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (5:3) (9CI) (CA INDEX NAME)

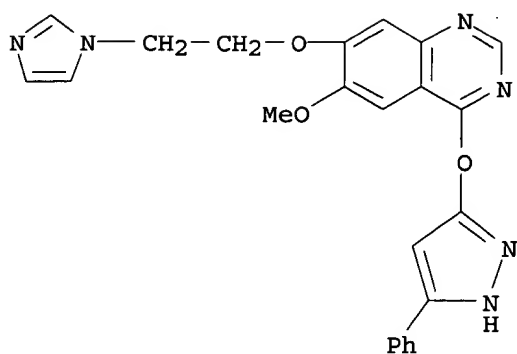


●3/5 HCl

RN 264207-62-7 CAPLUS

CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (2:5) (9CI) (CA INDEX NAME)

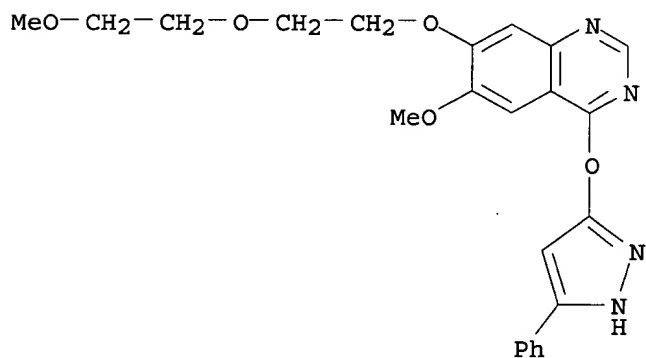
09/ 806,836



●5/2 HCl

RN 264207-64-9 CAPLUS

CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (20:17) (9CI) (CA INDEX NAME)

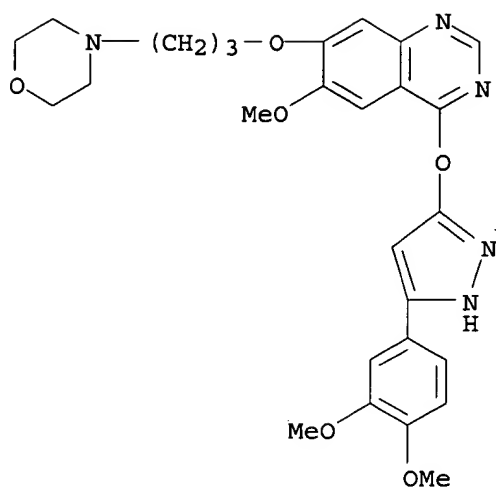


●17/20 HCl

RN 264207-66-1 CAPLUS

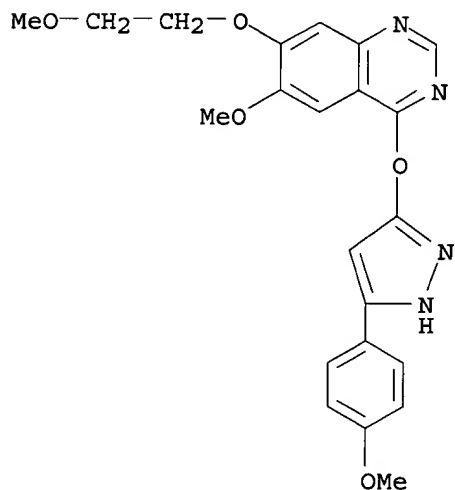
CN Quinazoline, 4-[[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264207-68-3 CAPLUS

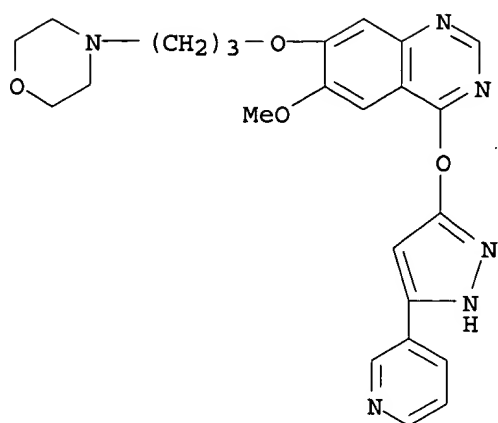
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 264207-70-7 CAPLUS

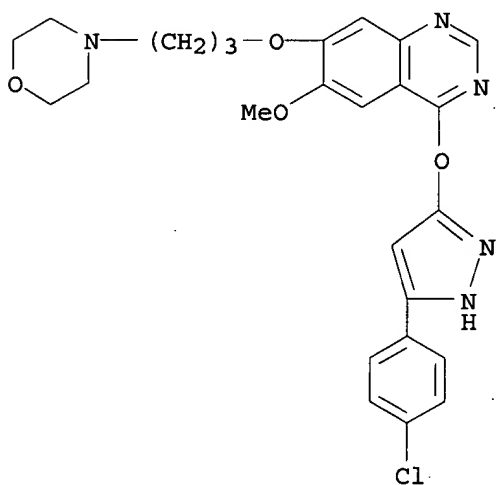
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264207-72-9 CAPLUS

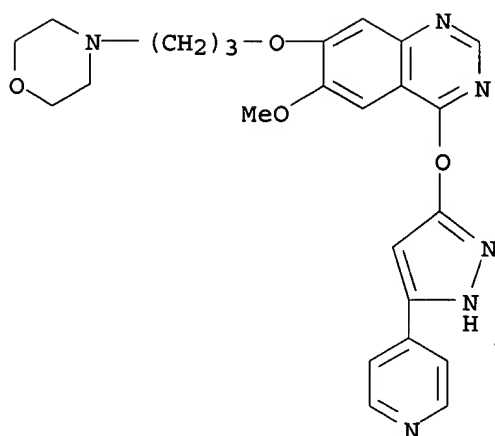
CN Quinazoline, 4-[[5-(4-chlorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264207-74-1 CAPLUS

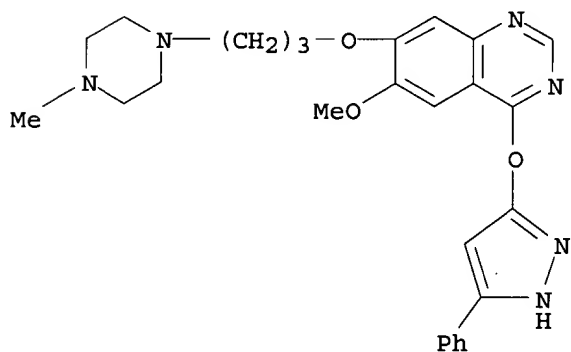
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264207-76-3 CAPLUS

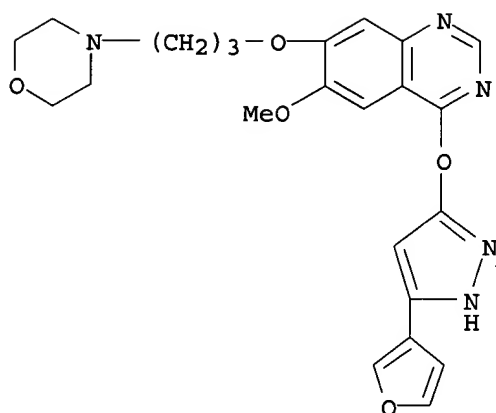
CN Quinazoline, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264207-94-5 CAPLUS

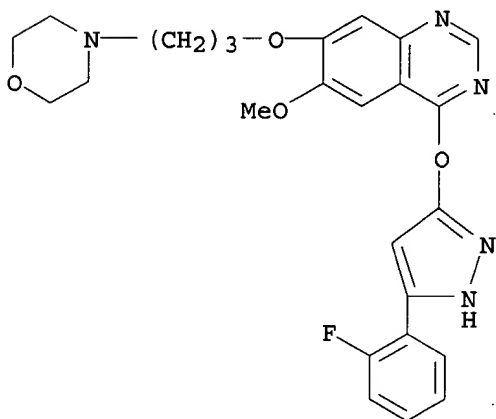
CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

09/ 806,836



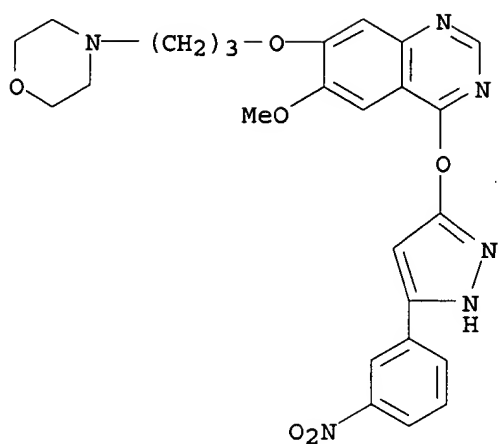
●x HCl

RN 264207-96-7 CAPLUS
CN Quinazoline, 4-[[5-(2-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy] - (9CI) (CA INDEX NAME)

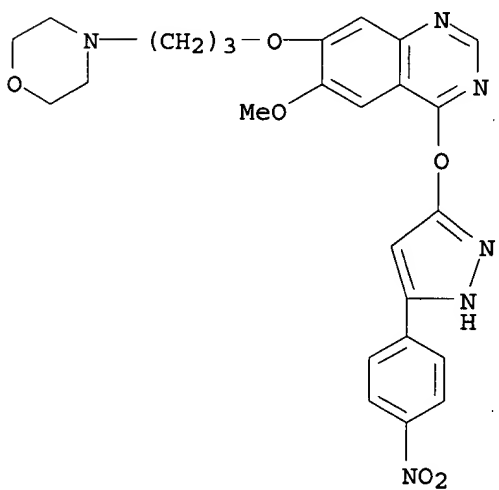


RN 264207-98-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-nitrophenyl)-1H-pyrazol-3-yl]oxy] - (9CI) (CA INDEX NAME)

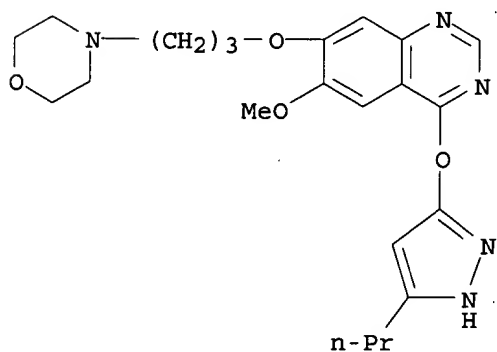
09/ 806,836



RN 264208-00-6 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-nitrophenyl)-1H-pyrazol-3-yl]oxy] - (9CI) (CA INDEX NAME)



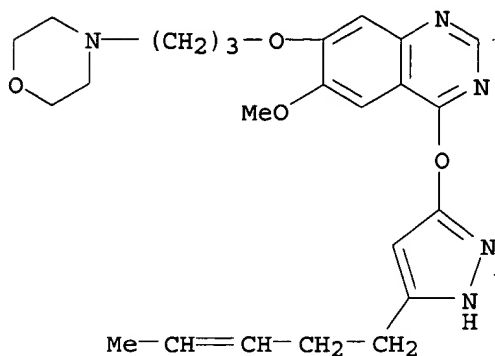
RN 264208-02-8 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-propyl-1H-pyrazol-3-yl)oxy] - (9CI) (CA INDEX NAME)



09/ 806,836

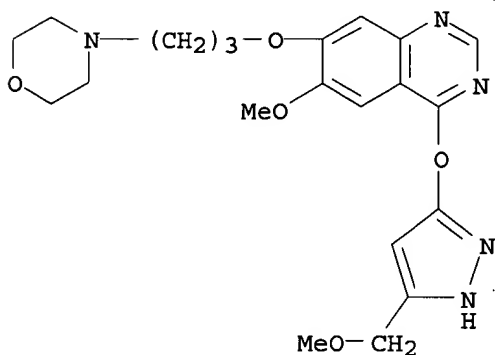
RN 264208-04-0 CAPLUS

CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pentenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



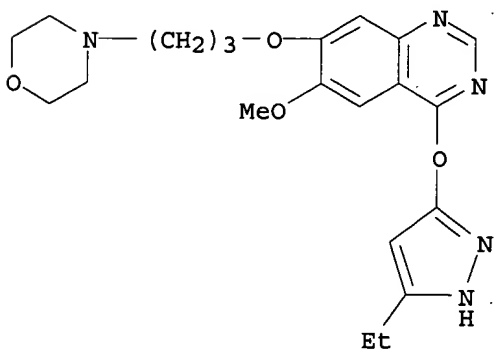
RN 264208-06-2 CAPLUS

CN Quinazoline, 6-methoxy-4-[[5-(methoxymethyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-08-4 CAPLUS

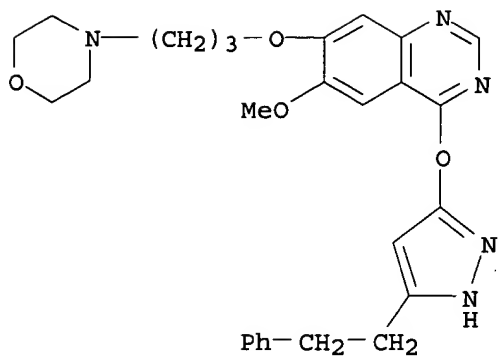
CN Quinazoline, 4-[[5-ethyl-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-10-8 CAPLUS

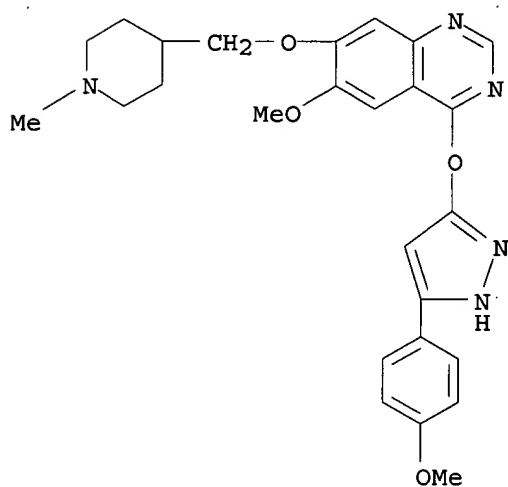
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(2-phenylethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264208-12-0 CAPLUS

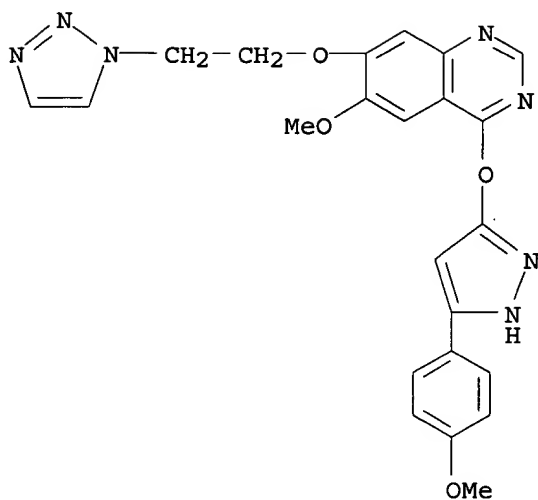
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



RN 264208-14-2 CAPLUS

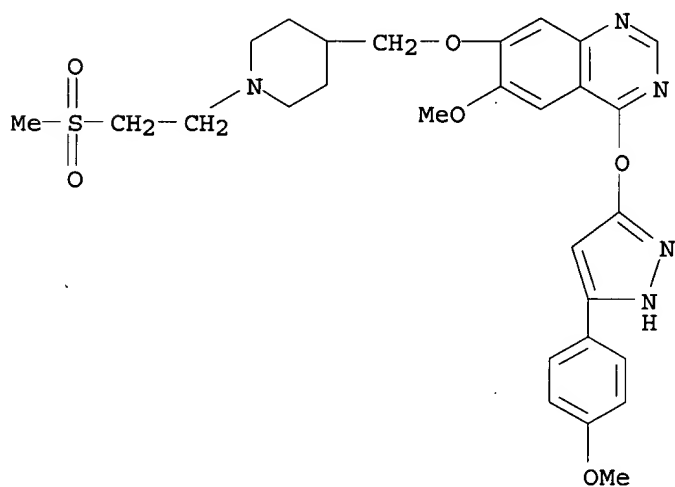
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264208-16-4 CAPLUS

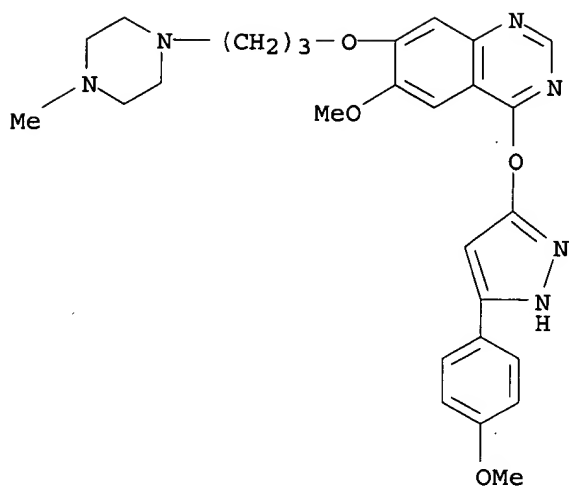
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[[1-[2-(methylsulfonyl)ethyl]-4-piperidinyl]methoxy]-(9CI) (CA INDEX NAME)



RN 264208-18-6 CAPLUS

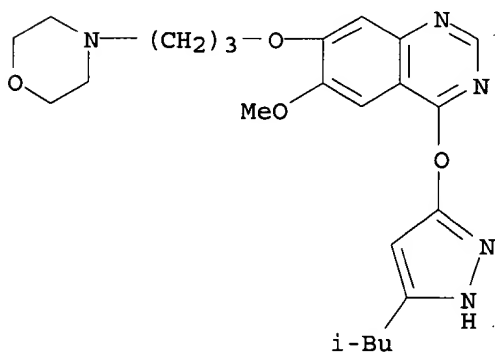
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-methyl-1-piperazinyl)propoxy]-(9CI) (CA INDEX NAME)

09/ 806,836



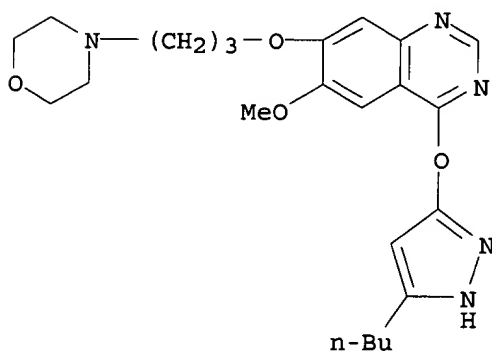
RN 264208-21-1 CAPLUS

CN Quinazoline, 6-methoxy-4-[[5-(2-methylpropyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-23-3 CAPLUS

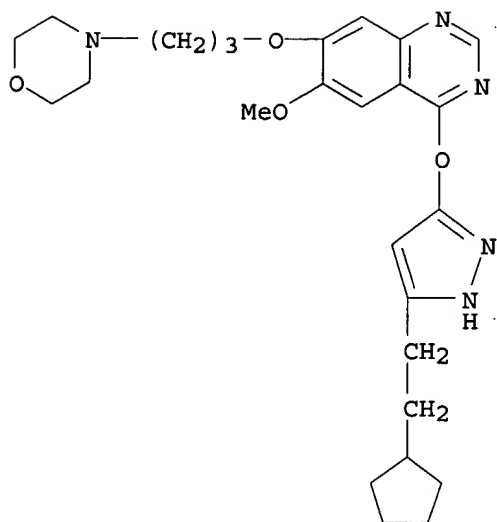
CN Quinazoline, 4-[(5-butyl-1H-pyrazol-3-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-26-6 CAPLUS

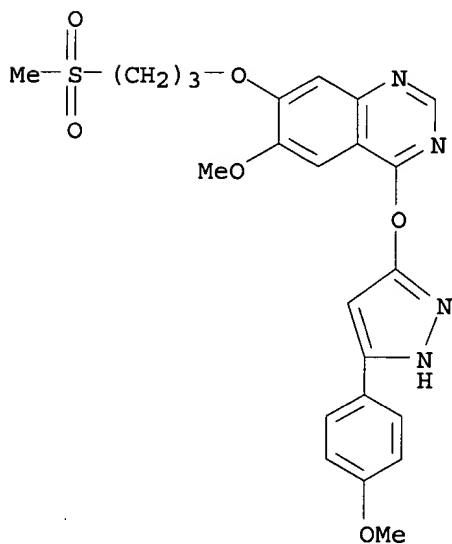
CN Quinazoline, 4-[[5-(2-cyclopentylethyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264208-28-8 CAPLUS

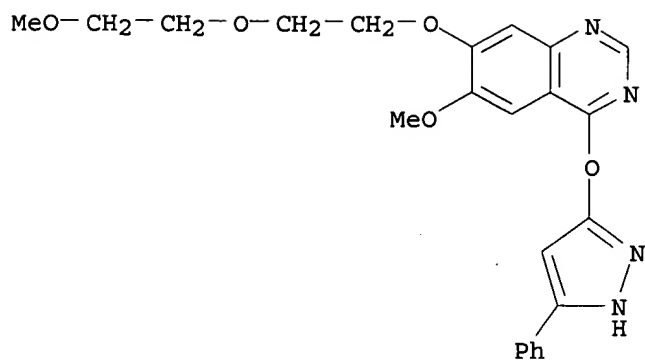
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-31-3 CAPLUS

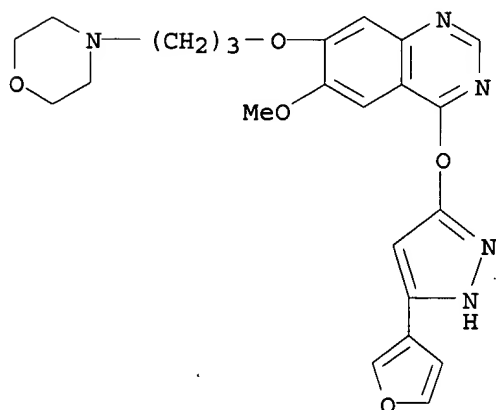
CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



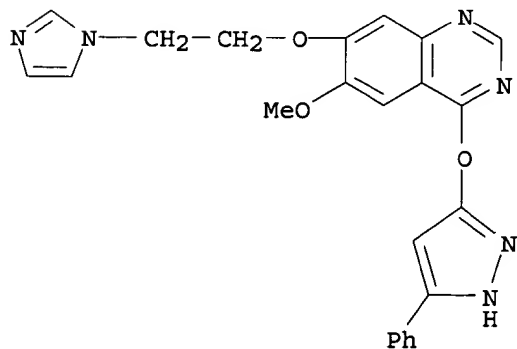
RN 264208-33-5 CAPLUS

CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



RN 264208-35-7 CAPLUS

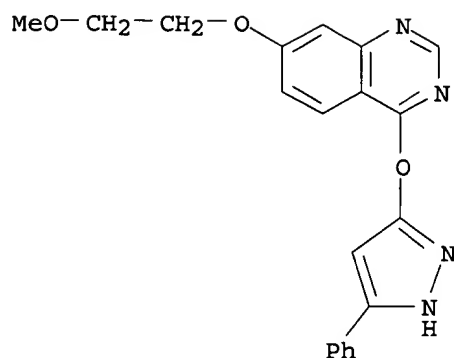
CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264208-38-0 CAPLUS

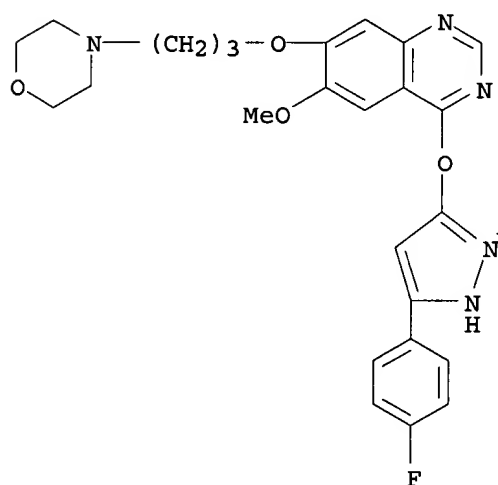
CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 264208-41-5 CAPLUS

CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:83114 CAPLUS

DOCUMENT NUMBER: 132:122509

TITLE: Preparation of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors

INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-kun; Roy, Patrick

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: U.S., 88 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020343	A	20000201	US 1998-97543	19980615

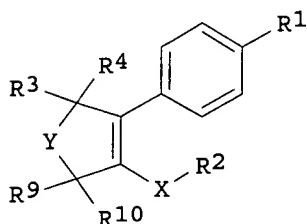
09/ 806,836

NZ 332820	A	20000526	NZ 1996-332820	19961009
JP 2001199954	A2	20010724	JP 2000-366579	19961009
ZA 9608609	A	19970414	ZA 1996-8609	19961011
US 6169188	B1	20010102	US 1999-422151	19991021

PRIORITY APPLN. INFO.:

US 1995-5371P	P	19951013
US 1996-11637P	P	19960214
US 1996-728512	B2	19961009
GB 1996-2939	A	19960213
GB 1996-5645	A	19960318
JP 1997-515371	A3	19961009
NZ 1996-319090	A1	19961009
US 1998-97543	A3	19980615

OTHER SOURCE(S): MARPAT 132:122509
GI



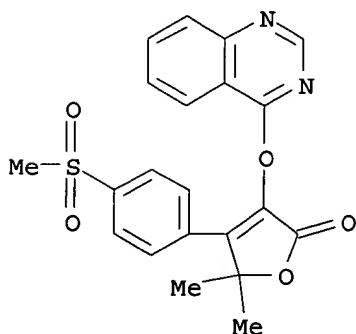
AB The title compds. [I; X = CH₂, CHOH, CO, etc.; Y = O, S, CO, etc.; R₁ = SO₂Me, SO₂NHCOCF₃, SONHNH₂, etc.; R₂ = alkyl, (un)substituted Ph, naphthyl, etc.; R₃ = H, alkyl, CN, etc.; R₄ = H, alkyl, alkoxy, etc.; R₉, R₁₀ = H, alkyl; R₉ and R₁₀ together with the carbon atom to which they are attached form a carbonyl or thiocarbonyl group], useful in the treatment of cyclooxygenase-2 mediated diseases such as inflammation, arthritis, osteoporosis, rheumatoid arthritis, and pain, were prepd. E.g., a 4-step synthesis of I [X = O; Y = O; R₁ = SO₂Me; R₂ = 3,4-F₂C₆H₃; R₃ = R₄ = Me; R₉ and R₁₀ together with the carbon atom to which they are attached form a carbonyl group] which showed ED₅₀ of 0.14 mg/kg in rat paw edema assay, was given.

IT 189955-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors)

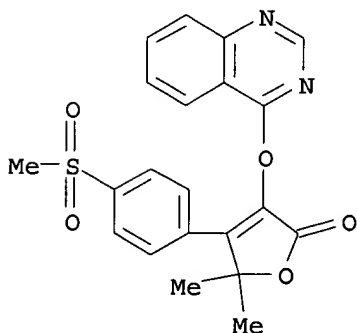
RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylloxy)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:769077 CAPLUS
 DOCUMENT NUMBER: 132:73232
 TITLE: Synthesis and biological evaluation of 3-heteroaryloxy-4-phenyl-2(5H)-furanones as selective COX-2 inhibitors
 AUTHOR(S): Lau, Cheuk K.; Brideau, Christine; Chan, Chi Chung; Charleson, Stella; Cromlish, Wanda A.; Ethier, Diane; Gauthier, Jacques Yves; Gordon, Robert; Guay, Jocelyne; Kargman, Stacia; Li, Chun-Sing; Prasit, Petpiboon; Riendeau, Denis; Therien, Michel; Visco, Denise M.; Xu, Lijing
 CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Pointe Claire-Dorval, QC, H9R 4P8, Can.
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(22), 3187-3192
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of 3-heteroaryloxy-4-phenyl-2-(5H)-furanones were prepd. and evaluated for their potency and selectivity as COX-2 inhibitors. This led to the identification of L-778,736 as a potent, orally active and selective inhibitor of the COX-2 enzyme.
 IT 189955-00-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and structure-anti-inflammatory activity of cyclooxygenase 2 inhibitors heteroaryloxyphenylfuranones)
 RN 189955-00-8 CAPLUS
 CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:718982 CAPLUS
 DOCUMENT NUMBER: 131:322532
 TITLE: Preparation of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors.
 INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-Sing; Therien, Michel; Black,

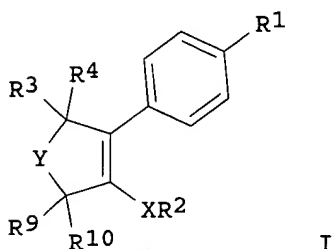
Cameron; Prasit, Petpiboon; Lau, Cheuk-Kun; Roy,
 Patrick
 PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
 SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 728,512,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5981576	A	19991109	US 1998-97537	19980615
NZ 332820	A	20000526	NZ 1996-332820	19961009
JP 2001199954	A2	20010724	JP 2000-366579	19961009
ZA 9608609	A	19970414	ZA 1996-8609	19961011

PRIORITY APPLN. INFO.:

US 1995-5371P	P	19951013
US 1996-11637P	P	19960214
US 1996-728512	B2	19961009
GB 1996-2939	A	19960213
GB 1996-5645	A	19960318
JP 1997-515371	A3	19961009
NZ 1996-319090	A1	19961009

OTHER SOURCE(S): MARPAT 131:322532
GI



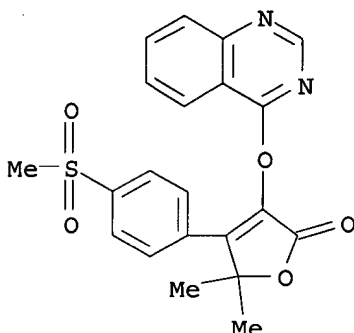
AB Title compds. [I; X = CH₂, CH(OH), CO, O, S, NR₁₅; Y = CO, O, S, CR₁₁R₁₂; R₁ = SO₂Me, SO₂NR₁₆R₁₇, SO₂NHCOCF₃, etc.; R₂ = alkyl, (substituted) Ph, naphthyl, heteroaryl, benzoheterocyclyl, heterocyclylalkyl, benzocarbocyclyl, etc.; R₃ = H, alkyl, CH₂OR₇, cyano, CH₂CN, (substituted) Ph, etc.; R₄ = H, alkyl, alkoxy, alkylthio, OH, SH, OCOR₇, etc.; R₃R₄ = atoms to form a 3-7 membered ring; R₇ = H, alkyl, (substituted) Ph, PhCH₂; R₉, R₁₀ = H, alkyl; R₉R₁₀ = O, S; R₁₆, R₁₇ = H, alkyl, alkanolic acid, alkyl amine, etc.; with provisos], were prepd. Thus, cyclopropanemethanol in THF was added to NaH in THF at 12.degree. over 75 min. followed by 18 h stirring at room temp.; ClCH₂CO₂Na was added followed by 8.5 h reflux to give an oil. This was refluxed with 2-bromo-2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one (prepn. given) and ethyldiisopropylamine in EtOH to give cyclopropylmethoxyacetic acid 2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one ester. The latter was refluxed with iso-Pr trifluoroacetate and DBU in MeCN to give 3-(cyclopropylmethoxy)-5,5-dimethyl-4-[(4-methylsulfonyl)phenyl]-5H-furan-2-one. I inhibited rat paw edema with ED₅₀ = 0.32-10 mg/kg orally.

IT 189955-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:410148 CAPLUS

DOCUMENT NUMBER: 131:111116

TITLE: Synthesis and analgesic activity of some condensed analogs of anpirtoline

AUTHOR(S): Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan

CORPORATE SOURCE: Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(6), 208-212

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT_{1A}, 5-HT_{1B}) and analgesic activity (hot plate, ACOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.

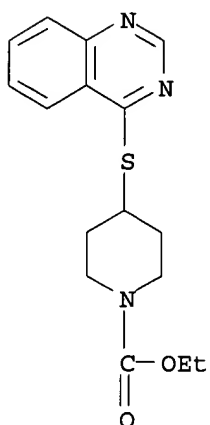
IT 232618-27-8P 232618-32-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and 5-HT₁-agonistic and analgesic activity of condensed analogs of anpirtoline)

RN 232618-27-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI)
(CA INDEX NAME)

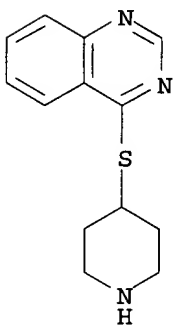
09/ 806,836



RN 232618-32-5 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

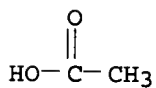
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S

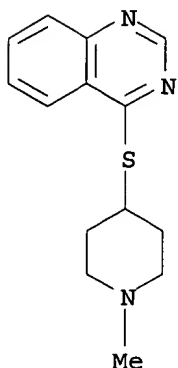


CM 2

CRN 64-19-7
CMF C2 H4 O2



IT **232618-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)

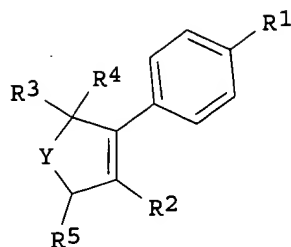


REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:425272 CAPLUS
 DOCUMENT NUMBER: 127:34112
 TITLE: Preparation of 3,4-diaryl-2-hydroxy-2,5-dihydrofurans as prodrugs to cyclooxygenase-2 (cox-2) inhibitors and as non-steroidal anti-inflammatory agents
 INVENTOR(S): Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory
 PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.; Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory
 SOURCE: PCT Int. Appl., 213 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716435	A1	19970509	WO 1996-CA717	19961029
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5698584	A	19971216	US 1996-738143	19961025
AU 9672736	A1	19970522	AU 1996-72736	19961029
AU 711902	B2	19991021		
JP 11500748	T2	19990119	JP 1996-516943	19961029
EP 904269	A1	19990331	EP 1996-934267	19961029
EP 904269	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, PT, IE, FI				
AT 212343	E	20020215	AT 1996-934267	19961029
ES 2171723	T3	20020916	ES 1996-934267	19961029
JP 3337477	B2	20021021	JP 1997-516943	19961029
US 6057319	A	20000502	US 1998-68139	19981002
PRIORITY APPLN. INFO.:				
			US 1995-8074P	P 19951030
			GB 1996-2877	A 19960213
			WO 1996-CA717	W 19961029

OTHER SOURCE(S): MARPAT 127:34112
 GI



I

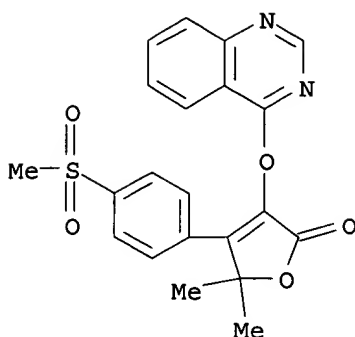
AB The invention encompasses the novel compd. of formula [I; Y = (un)substituted CH₂, O, S, CO; R₂ = SO₂Me, (un)substituted SO₂NH₂, SO₂NHCOCF₃, SONHNH₂, SONHNHCOCF₃, P(O)MeNH₂, P(O)Me₂, C(S)NH₂; R₂ = NR₁₀R₁₁, SR₁₁, OR₁₁, R₁₁, C1-10 alkenyl, C1-10 alkynyl, (un)substituted C3-10 cycloalkenyl; wherein R₁₁ = C1-10 alkyl, C3-10 cycloalkyl, (un)substituted Ph, naphthyl, or heteroaryl, etc.; R₃ = H, C1-10 alkyl, cyano, CH₂CN, C1-6 fluoroalkyl, F, CH₂OR₈, CON(R₈)₂; R₄ = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, O₂CR₈, SH, SCOR₈, OCO₂R₈, O CON(R₈)₂, SCON(R₈)₂, C3-10 cycloalkoxy or cycloalkylthio; or CR₃R₄ = 3- to 7-membered monocyclic ring optionally contg. 1 or 2 heteroatoms selected from O, S, or N; wherein R₈ = H, C1-10 alkyl, C1-10 alkyl-CO₂H, C1-10 aminoalkyl, (un)substituted Ph or CH₂Ph, C3-10 cycloalkyl, C1-10 alkanoyl, (un)substituted benzoyl; R₅ = OR₁₇, SR₁₈, NR₁₇R₁₈, S(O)R₁₈, SO₂R₁₈, SO₂N(R₁₇)₂, OP(O)(OR₁₆)₂; wherein R₁₆ = H, C1-6 alkyl, (un)substituted CH₂Ph; R₁₇ = H, R₁₈; R₁₈ = C1-10 alkyl, C1-10 alkyl-CO₂H, C1-10 aminoalkyl, (un)substituted Ph or CH₂Ph, C3-10 cycloalkyl, (CH₂CH₂O)_nH (n = 1-6), C1-10 alkanoyl, (un)substituted benzoyl]. They are in vivo converted into the active lactone form, i.e. arylhydroxydihydrofuranone derivs. I (R₅ = oxo; Y, R₁ - R₄ = same as above) with high inhibitory activity against cyclooxygenase-2 and/or a specificity for cyclooxygenase-2 over cyclooxygenase-1 and useful in the treatment of cyclooxygenase-2 mediated diseases, in particular inflammatory diseases. Thus, 3,4-difluorophenoxyacetic acid was cyclocondensed with 2-hydroxy-4'-(methylsulfonyl)isobutyrophenone (prepn. given) using 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate and 4-dimethylaminopyridine in CH₂Cl₂ at room temp. for 18 h to give 3-(3,4-difluorophenoxy)-5,5-dimethyl-4-(4-methylsulfonylphenyl)-5H-furan-2-one, which was reduced by (Me₂CHCH₂)₂AlH in THF at room temp. for 30 min to give I (Y = O, R₂ = 3,4-difluorophenoxy, R₃ = R₄ = Me, R₅ = OH). The latter compd. showed ED₅₀ of 0.09 mg/kg p.o. for inhibiting the carrageenan-induced paw edema in rats.

IT 189955-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylhydroxydihydrofurans as prodrugs for antiinflammatory diarylhydroxydihydrofuranones and selective cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:384238 CAPLUS

DOCUMENT NUMBER: 127:5002

TITLE: (Methylsulfonyl)phenyl-2-(5H)-furanones as cox-2 inhibitors

INVENTOR(S): Belley, Michel; Gauthier, Jacques Y.; Grimm, Erich; Leblanc, Yves; Li, Chung-Sing; Therien, Michel; Black, Cameron; Lau, Cheuk-Kun; Prasit, Petpiboon; et al.

PATENT ASSIGNEE(S): Can.

SOURCE: PCT Int. Appl., 264 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

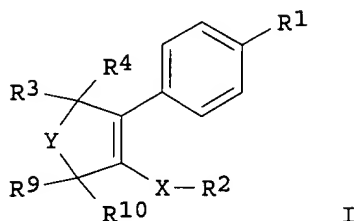
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714691	A1	19970424	WO 1996-CA682	19961009
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RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2233178	AA	19970424	CA 1996-2233178	19961009
AU 9671236	A1	19970507	AU 1996-71236	19961009
AU 703871	B2	19990401		
EP 863891	A1	19980916	EP 1996-932417	19961009
EP 863891	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1200119	A	19981125	CN 1996-197609	19961009
JP 11500146	T2	19990106	JP 1996-515371	19961009
BR 9611015	A	19990914	BR 1996-11015	19961009
NZ 319090	A	20000128	NZ 1996-319090	19961009
NZ 332820	A	20000526	NZ 1996-332820	19961009
JP 2001199954	A2	20010724	JP 2000-366579	19961009
IL 123699	A1	20020310	IL 1996-123699	19961009
SK 282639	B6	20021008	SK 1998-450	19961009
JP 3337476	B2	20021021	JP 1997-515371	19961009
AT 229515	E	20021215	AT 1996-932417	19961009
EE 3969	B1	20030217	EE 1998-80	19961009
ES 2187675	T3	20030616	ES 1996-932417	19961009
ZA 9608609	A	19970414	ZA 1996-8609	19961011
TW 426679	B	20010321	TW 1996-85112463	19961012

09/ 806,836

NO 9801628	A	19980527	NO 1998-1628	19980408
BG 63391	B1	20011231	BG 1998-102425	19980504
PRIORITY APPLN. INFO.:			US 1995-5371P	P 19951013
			GB 1996-2939	A 19960213
			US 1996-11637P	P 19960214
			GB 1996-5645	A 19960318
			JP 1997-515371	A3 19961009
			NZ 1996-319090	A1 19961009
			WO 1996-CA682	W 19961009

OTHER SOURCE(S): MARPAT 127:5002
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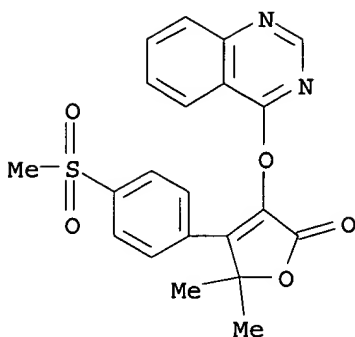
AB The title compds. [I; X = CH₂, CHOH, CO, O, S, NR₁₅ with the proviso that when R₃ and R₄ are other than both H, both C₁-10 alkyl, or joined together with the carbon to which they are attached to form a satd. monocyclic carbon ring of 3, 4, 5, 6 or 7 atoms, then X is selected from CO, O, S, or NR₁₅; Y = CR₁₁R₁₂, CO, O, S; R₁₁, R₁₂ = H, mono- or disubstituted Ph or mono- or disubstituted benzyl or mono- or disubstituted heteroaryl or mono- or disubstituted heteroarylmethyl wherein the substituents are H, halo, C₁-6 alkyl, C₁-6 alkoxy, C₁-6 alkylthio, etc.; R₁ = SO₂-Me, SO₂-NR₁₆R₁₇, SO₂-NH-CO-CF₃, SONH-NH₂, etc.; R₂ = H, halo, C₁-10 alkyl, mono- or disubstituted Ph or naphthyl wherein the substituents are selected from the group consisting of H, halo, C₁-10 alkoxy, C₁-10 alkylthio, etc.; R₃ = H, C₁-10 alkyl, CH₂-OR₇, CN, CH₂CN, C₁-6 fluoroalkyl, F, etc.; R₄ = H, C₁-10 alkyl, C₁-10 alkoxy, C₁-10 alkylthio, OH, etc.; R₉, R₁₀ = H, C₁-7 alkyl, or R₉R₁₀ together with the carbon atom they are attached form a carbonyl or thiocarbonyl group; R₁₅ = H, C₁-10 alkyl, mono-, di-, or trisubstituted Ph or naphthyl, etc.; R₁₆, R₁₇ = H, C₁-10 alkyl, alkanolic acid, alkyl amine, etc.] are prepd. Thus, 2-methyl-1-[4-(methylthio)phenyl]-1-propanone (prepd. from isobutyryl chloride and thioanisole) was treated with Aliquat 336 to give the 2-hydroxy deriv., which was oxidized to the sulfonyl compd. with Oxone, which was reacted with 3,4-difluorophenoxyacetic acid to give I [R₁ = SO₂-Me, R₂ = 3,4-difluorophenyl, R₃ = R₄ = Me, R₉R₁₀ = O, X = Y = O]. In a red paw edema assay (using rats) for its antiinflammatory potency, this had ED₅₀ of 0.14 mg/Kg. The invention also describes pharmaceutical compns. comprising I for treatment of cyclooxygenase-2 mediated diseases.

IT 189955-00-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((methylsulfonyl)phenyl(5H)-furanones as cox-2 inhibitors)

RN 189955-00-8 CAPLUS

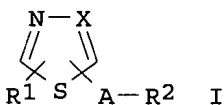
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinylloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1996:708170 CAPLUS
 DOCUMENT NUMBER: 125:328719
 TITLE: Preparation of thiazoles and thiadiazoles for treatment of thrombocytopenia
 INVENTOR(S): Matsuo, Masaaki; Ogino, Takashi; Tsuji, Kiyoshi
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630370	A2	19961003	WO 1996-JP773	19960326
WO 9630370	A3	19961128		
W: AU, CA, CN, HU, JP, KR, NO, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9602398	A	19961001	ZA 1996-2398	19960326
AU 9650153	A1	19961016	AU 1996-50153	19960326
PRIORITY APPLN. INFO.:			GB 1995-6189	19950327
			GB 1995-11226	19950602
			WO 1996-JP773	19960326

OTHER SOURCE(S): MARPAT 125:328719
 GI



AB The title compds. [I; R1 = H, halo, NH2, etc.; R2 = N- or S-contg. unsatd. heterocyclic group; X = CH, N; A = S(O)m (wherein m = 0-2)], useful for prophylactic or therapeutic treatment of thrombocytopenia, rheumatism, nephritis, tumor or side effects of antitumor agents, were prepd. Thus, reaction of 2-amino-5-chlorothiazole.HCl with 2-quinolinethiol in the presence of NaHCO3 in DMF at 110.degree. afforded I [R1 = 2-NH2; AR2 = 5-(2-quinolythio)-; X = CH] which showed 74% increase in platelet no. at 100 mg/kg in male ddY mice.

IT 183548-92-7P

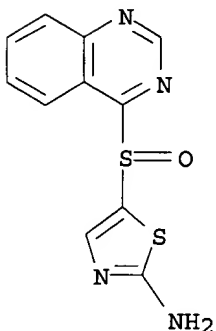
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

09/ 806,836

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazoles and thiadiazoles for treatment of
thrombocytopenia)

RN 183548-92-7 CAPLUS

CN 2-Thiazolamine, 5-(4-quinazolinylsulfinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:731257 CAPLUS

DOCUMENT NUMBER: 123:339501

TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions

AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh

CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India

SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-.alpha.-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6-trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2-thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6-arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.

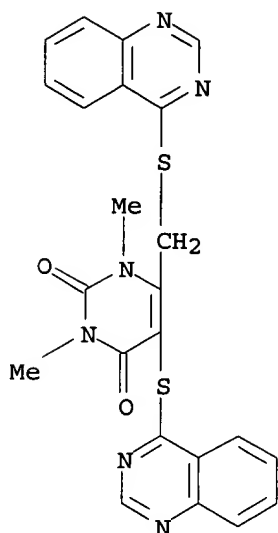
IT 170504-08-2P 170504-11-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)

RN 170504-08-2 CAPLUS

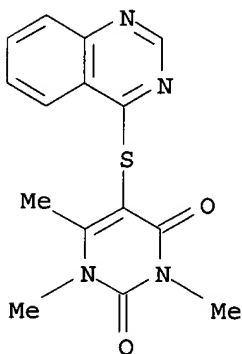
CN 2,4(1H,3H)-Pyrimidinedione, 1,3-dimethyl-5-(4-quinazolinylthio)-6-[(4-quinazolinylthio)methyl]- (9CI) (CA INDEX NAME)

09/ 806,836



RN 170504-11-7 CAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI)
(CA INDEX NAME)



L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1988:70632 CAPLUS

DOCUMENT NUMBER: 108:70632

TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield

INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt, Russell James

PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA

SOURCE: PCT Int. Appl., 789 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

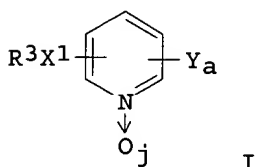
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922
PRIORITY APPLN. INFO.:			US 1986-824389	19860123
			US 1986-939416	19861215
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GI



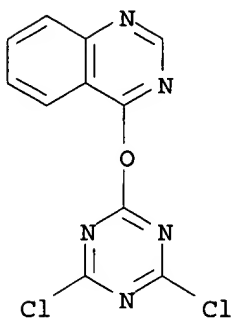
AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (arom. or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A soln. of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4-methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in potted bean (*Phaseolus vulgaris*). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the std. atrazine (108 g/L).

IT 112720-19-1P

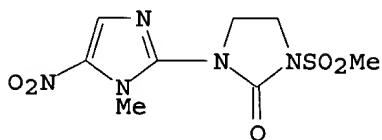
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as plant antitranspirant)

RN 112720-19-1 CAPLUS

CN Quinazoline, 4-[(4,6-dichloro-1,3,5-triazin-2-yl)oxy]- (9CI) (CA INDEX NAME)



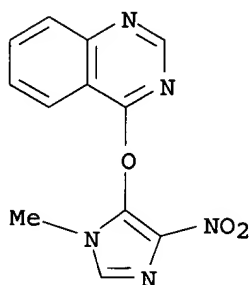
L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:603875 CAPLUS
 DOCUMENT NUMBER: 101:203875
 TITLE: Nitroimidazoles: part XIX - structure-activity relationships
 AUTHOR(S): Nagarajan, K.; Arya, V. P.; George, T.; Nair, M. D.; Sudarsanam, V.; Ray, D. K.; Shrivastava, V. B.
 CORPORATE SOURCE: Res. Cent., CIBA-GEIGY, Bombay, 400 063, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(4), 342-62
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



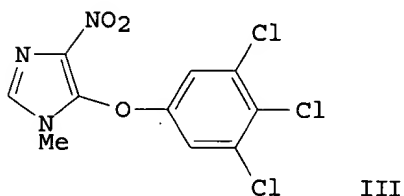
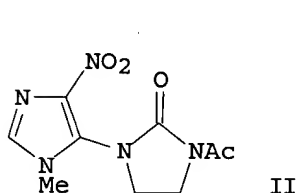
I

AB A variety of nitroimidazoles, mostly 1,2-disubstituted-5-nitro derivs. were examd. for in vitro activity against *Entamoeba histolytica* and for effectiveness in treating early hepatic infection in golden hamsters. Many compds. carried a functionalized N atom at position 2. In vivo activity was obsd. with 1-alkyl-5-nitroimidazoles carrying a substituted imidazolidinone or imidazole. Among these derivs., 1-methylsulfonyl-3-(1-methyl-5-nitro-2-imidazolyl)-2-imidazolidinone (I) [56302-13-7] was the most potent against hepatic and caecal infections of *E. histolytica* in the golden hamster and *Trichomonas foetus* infections in mice. It was developed as a drug for treatment of amoebiasis, giardiasis, and trichomoniasis. The structure-antiamoebic activity relationships of the nitroimidazoles are discussed.

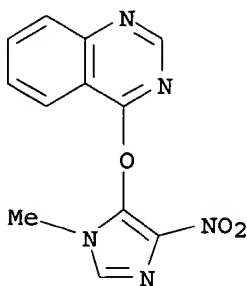
IT 86231-03-0
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (amebicidal activity of, structure in relation to)
 RN 86231-03-0 CAPLUS
 CN Quinazoline, 4-[(1-methyl-4-nitro-1H-imidazol-5-yl)oxy]- (9CI) (CA INDEX NAME)



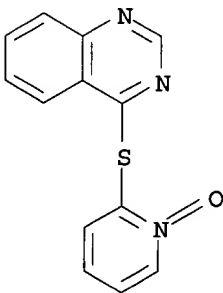
L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:422379 CAPLUS
 DOCUMENT NUMBER: 99:22379
 TITLE: Nitroimidazoles. Part XVI. Some 1-methyl-4-nitro-5-substituted imidazoles
 AUTHOR(S): Arya, V. P.; Nagarajan, K.; Shenoy, S. J.
 CORPORATE SOURCE: Ciba-Geigy Res. Cent., Bombay, 400 063, India
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(12), 1115-17
 CODEN: IJSBDB; ISSN: 0376-4699
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 99:22379
 GI



AB Treatment of 1-methyl-4-nitro-5-chloroimidazole I with 5-membered lactams, e.g. imidazolidinones, oxazolidinone, and thiazolidinone, and imidazole affords N-imidazolyl derivs., e.g. II. Amino derivs. are similarly obtained. 2-Hydroxypyrazine, 4-hydroxyquinazoline, and 3,4,5-trichlorophenol and I react to form O-derivs., e.g. III, while mercaptans provide the sulfides.
 IT **86231-03-0P**
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 86231-03-0 CAPLUS
 CN Quinazoline, 4-[(1-methyl-4-nitro-1H-imidazol-5-yl)oxy] - (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:582339 CAPLUS
 DOCUMENT NUMBER: 97:182339
 TITLE: Quinazolines, their preparation and biological activity
 AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt
 CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.
 SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(7), 907-11
 CODEN: ZNBAD2; ISSN: 0340-5087
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 AB 4-Hydroxyquinazolines (I) were prepd. by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepd. by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A no. of the quinazolines showed fungicidal activity.
 IT 83529-97-9P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 83529-97-9 CAPLUS
 CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



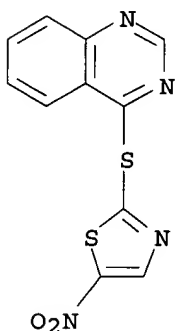
L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1973:4286 CAPLUS
 DOCUMENT NUMBER: 78:4286
 TITLE: 5-Nitro-2-thiazolyl sulfides
 INVENTOR(S): Hughes, Peter Graham; Verge, John Pomfret
 PATENT ASSIGNEE(S): Lilly Industries Ltd.
 SOURCE: Ger. Offen., 40 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent

LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2213558	A	19721005	DE 1972-2213558	19720321
GB 1354296	A	19740522	GB 1971-8252	19710330
US 3870725	A	19750311	US 1972-234376	19720313
CH 545812	A	19740215	CH 1972-4021	19720316
IT 965768	A	19740211	IT 1972-49259	19720327
FR 2132133	A5	19721117	FR 1972-10848	19720328
FR 2132133	B1	19750620		

PRIORITY APPLN. INFO.: GB 1971-8252 19710330
 GB 1971-39106 19710820

GI For diagram(s), see printed CA Issue.
 AB Forty-five title compds. (I, R = substituted 1,3,4-thiadiazol-k-yl, 5-thioxo-1,3,4-chiadiazol-2-yl, 1,3,4-oxadiazol-k-yl, 1,2,4-triazol-1(or 5)-yl, 1,2,3,4-tetrazol-5-yl, 1,2,4-triazin-1-yl, 4-quinazolinyl, 2-pyrimidinyl, 2(or 4)-pyridyl, or 2-quinolyl), useful as fungicides, were prepd. by reaction of the bromo deriv. II with RSX (X = H, K, Na).
 IT **40045-66-7P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 40045-66-7 CAPLUS
 CN Quinazoline, 4-[(5-nitro-2-thiazolyl)thio]- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1969:413319 CAPLUS
 DOCUMENT NUMBER: 71:13319
 TITLE: Glycosides and heterocycles. XXXV. Glycosides of hydroxy- and mercaptoquinazolines
 AUTHOR(S): Wagner, Guenther; Suess, F.
 CORPORATE SOURCE: Pharm, Inst., Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
 SOURCE: Pharmazie (1969), 24(1), 35-8
 CODEN: PHARAT; ISSN: 0031-7144
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI For diagram(s), see printed CA Issue.
 AB 4-Hydroxyquinazoline (I) Ag salt (7.59 g.) was mixed with 300 ml. C₆H₆, 250 ml. solvent was distd., a soln. of 4.11 g. tetra-O-acetyl-.alpha.-D-glucopyranosyl bromide (II) added, the mixt. refluxed 2 hrs. and filtered, the filtrate evapd., and the residue purified by thin-layer chromatog. on SiO₂ in the solvent system 3:2 AcOEt-cyclohexane to yield 40% 4-(tetra-O-acetyl-.beta.-D-glucopyranosyloxy)quinazoline (III) (Q = tetra-O-acetyl-.beta.-D-glucopyranosyl throughout this abstr.), m. 150-2.degree. (MeOH), [.alpha.]_D -22.5.degree. (c 2.5, CHCl₃). i Hg

salt (1.62 g.) and 2.71 g. II refluxed for 2 hrs. in 100 ml. MePh and filtered, the filtrate washed with Na₂S₂O₃ and 5% NaOH and evapd. gave, after addn. of MeOH, 50% 3-(tetra-O-acetyl-.beta.-D-glucopyranosyl)-4-quinazolinone (IVa), m. 192-4.degree. (70% MeOH), [.alpha.]₂₀D 0.degree. (CHCl₃). III (0.52 g.) and 2.02 g. HgBr₂ refluxed 2 hrs. in 50 ml. anhyd. PhMe afforded 80% IVa. IVa deacetylated by heating in 0.05M MeONa gave 70% 3-.beta.-D-glucopyranosyl-4-quinazolinone (IVb) (G = .beta.-D-glucopyranosyl throughout this abstr.), m. 257.5-8.5.degree. (PrOH), [.alpha.]₂₀D 37.3.degree. (c 2.3, HCONMe₂). A soln. of 1.82 g. 2,3,4,6-tetra-O-acetyl-1-thio-.beta.-D-glucopyranose and 0.82 g. 4-chloroquinazoline in 16 ml. Me₂CO was treated with 0.28 g. KOH in 4 ml. H₂O, agitated 25 min., and dild. with 100 ml. H₂O to yield 84% 4-(tetra-O-acetyl-.beta.-D-glucopyranosylthio)quinazoline (Va), m. 95-6.degree. (MeOH), [.alpha.]₂₀D 12.degree. (c 3, CHCl₃). 2-Chloroquinazoline gave similarly 40% 2-(tetra-O-acetyl-.beta.-D-glucopyranosylthio)quinazoline (VIa), m. 143-5.degree. (30% MeOH), [.alpha.]₂₀D 13.degree. (c 3, CHCl₃). A mixt. of 0.5 g. IVa and 1.2 g. P₄S₁₀ in 5 ml. anhyd. C₅H₅N heated 5 hrs. at 130.degree. and 10 hrs. at 160.degree., cooled, extd. repeatedly with CHCl₃, the combined exts. washed with 5% NaOH, evapd., and the residue treated with MeOH, gave 70% 3-(tetra-O-acetyl-.beta.-D-glucopyranosyl)-4-quinazolinethione (VII), m. 174.5-5.5.degree. (50% MeOH), [.alpha.]₂₀D 7.degree. (c 2.2, CHCl₃). The reaction of 4-quinazolinethiol and II in aq. Me₂CO in the presence of NaOH yielded 56% Va and 8% VII. Deacetylation of Va with MeOH gave 85% 3-.beta.-D-glucopyranosyl-4-quinazolinethione (Vb), m. 218-20.degree. (PrOH), [.alpha.]₂₀D -19.degree. (c 3.4, HCONMe₂). The reaction of 2-hydroxyquinazoline and II in aq. Me₂CO in the presence of NaOH followed by preparative thin-layer chromatog. on SiO₂ in 3:2 C₆H₆-EtOAc gave 5% 2-(tetra-O-acetyl-.beta.-D-glucopyranosyloxy)quinazoline, m. 119-21.degree. (35% MeOH), [.alpha.]₂₀D 8.degree. (c 2.5, CHCl₃). 2-Quinazolinethiol reacted with II in aq. Me₂CO afforded 38% VIa. Deacetylation of VIa with MeONa gave 60% 2-(.beta.-D-glucopyranosylthio)quinazoline (VIb), m. 113-15.degree. (PrOH), [.alpha.]₂₀D -96.4.degree. (c 2, HCONMe₂). Uv spectrum of IVa was very similar to that of 3-methyl-4-quinazoline and differed from the spectrum of 1-methyl-4-quinazoline. This confirmed the structure of IVa.

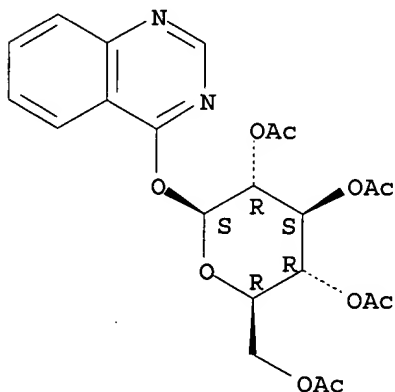
IT 24558-70-1P 24577-13-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24558-70-1 CAPLUS

CN Quinazoline, 4-(.beta.-D-glucopyranosyloxy)-, 2',3',4',6'-tetraacetate
(8CI) (CA INDEX NAME)

Absolute stereochemistry.



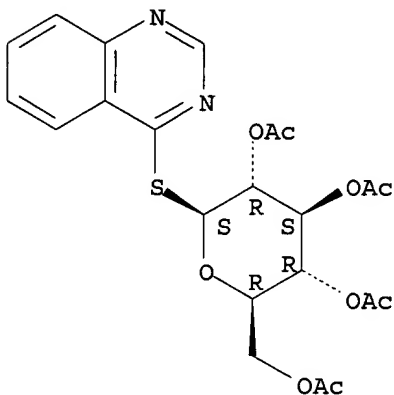
RN 24577-13-7 CAPLUS

CN Quinazoline, 4-(.beta.-D-glucopyranosylthio)-, 2',3',4',6'-tetraacetate

09/ 806,836

(8CI) (CA INDEX NAME)

Absolute stereochemistry.



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(FILE 'HOME' ENTERED AT 11:13:23 ON 10 OCT 2003)

FILE 'REGISTRY' ENTERED AT 11:13:43 ON 10 OCT 2003

L1 STRUCTURE UPLOADED
L2 78 S L1 FUL

FILE 'CAPLUS' ENTERED AT 11:14:08 ON 10 OCT 2003

L3 17 S L2

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SINCE FILE	TOTAL
ENTRY	SESSION
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STN INTERNATIONAL LOGOFF AT 11:15:29 ON 10 OCT 2003